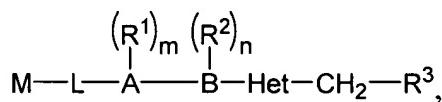


Amendments to the Claims:

The Claim Listing below will replace all prior version of the claims in the application:

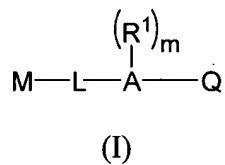
Claim Listing

1. (Original) A process for preparing a compound having the formula:

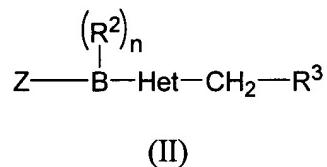


the process comprising the steps of:

combining a compound of formula (I):



with a compound of formula (II):



in a solvent in the presence of a base and a palladium catalyst, wherein

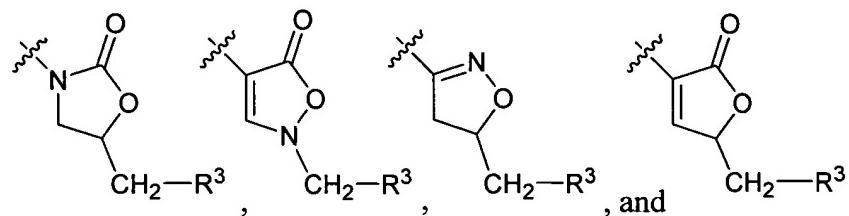
A is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

B is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

Het-CH₂-R³ is selected from the group consisting of:

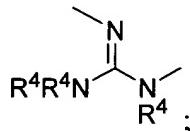


M-L is selected from the group consisting of:

- a) M-X, b) M-L¹, c) M-L¹-X, d) M-X-L², e) M-L¹-X-L², f) M-X-L¹-X-L²,
- g) M-L¹-X-L²-X, h) M-X-X-, i) M-L¹-X-X-, j) M-X-X-L², and
- k) M-L¹-X-X-L², wherein

X, at each occurrence, independently is selected from the group consisting of:

- a) -O-, b) -NR⁴-, c) -N(O)-, d) -N(OR⁴)-, e) -S(O)p-, f) -SO₂NR⁴-,
- g) -NR⁴SO₂-, h) -NR⁴-N=, i) =N-NR⁴-, j) -O-N=, k) =N-O-,
- l) -N=, m) =N-, n) -NR⁴-NR⁴-, o) -NR⁴C(O)O-, p) -OC(O)NR⁴-,
- q) -NR⁴C(O)NR⁴- r) -NR⁴C(NR⁴)NR⁴-, and
- s)



L¹ is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,
- wherein any of a) – c) optionally is substituted with one or more R⁵ groups; and

L² is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,
- wherein any of a) – c) optionally is substituted with one or more R⁵ groups;

alternatively, L in M-L is a bond;

M is selected from the group consisting of:

a) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl, and f) -CN,

wherein any of a) – e) optionally is substituted with one or more R⁵ groups;

Q is a borane having the formula -BY₂, wherein

Y, at each occurrence, independently is selected from the group consisting of:

a) -OH, b) -OC₁₋₆ alkyl, c) -OC₂₋₆ alkenyl, d) -OC₂₋₆ alkynyl,
e) -OC₁₋₁₄ saturated, unsaturated, or aromatic carbocycle, f) C₁₋₆ alkyl, g) C₂₋₆ alkenyl, h) C₂₋₆ alkynyl, and i) C₁₋₁₄ saturated, unsaturated, or aromatic carbocycle,

wherein any of b) – i) optionally is substituted with one or more halogens;

alternatively, two Y groups taken together comprise a chemical moiety selected from the group consisting of:

a) -OC(R⁴)(R⁴)C(R⁴)(R⁴)O-, and b) -OC(R⁴)(R⁴)CH₂C(R⁴)(R⁴)O-;

alternatively, Q is a BF₃ alkali metal salt or 9-borabicyclo[3.3.1]nonane;

Z is selected from the group consisting of:

a) I, b) Br, c) Cl, and d) R⁹OSO₃₋;

R¹, at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) -CF₃, f) -OR⁴, g) -CN, h) -NO₂, i) -NR⁴R⁴, j) -C(O)R⁴, k) -C(O)OR⁴, l) -OC(O)R⁴, m) -C(O)NR⁴R⁴, n) -NR⁴C(O)R⁴, o) -OC(O)NR⁴R⁴, p) -NR⁴C(O)OR⁴, q) -NR⁴C(O)NR⁴R⁴, r) -C(S)R⁴, s) -C(S)OR⁴, t) -OC(S)R⁴, u) -C(S)NR⁴R⁴, v) -NR⁴C(S)R⁴, w) -OC(S)NR⁴R⁴, x) -NR⁴C(S)OR⁴, y) -NR⁴C(S)NR⁴R⁴, z) -C(NR⁴)R⁴, aa) -C(NR⁴)OR⁴, bb) -OC(NR⁴)R⁴, cc) -C(NR⁴)NR⁴R⁴, dd) -NR⁴C(NR⁴)R⁴, ee) -OC(NR⁴)NR⁴R⁴,

ff) -NR⁴C(NR⁴)OR⁴, gg) -NR⁴C(NR⁴)NR⁴R⁴, hh) -S(O)_pR⁴, ii) -SO₂NR⁴R⁴, and jj) R⁴;

R², at each occurrence, independently is selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) -CF₃, f) -OR⁴, g) -CN, h) -NO₂, i) -NR⁴R⁴, j) -C(O)R⁴,
- k) -C(O)OR⁴, l) -OC(O)R⁴, m) -C(O)NR⁴R⁴, n) -NR⁴C(O)R⁴, o) -OC(O)NR⁴R⁴,
- p) -NR⁴C(O)OR⁴, q) -NR⁴C(O)NR⁴R⁴, r) -C(S)R⁴, s) -C(S)OR⁴, t) -OC(S)R⁴,
- u) -C(S)NR⁴R⁴, v) -NR⁴C(S)R⁴, w) -OC(S)NR⁴R⁴, x) -NR⁴C(S)OR⁴,
- y) -NR⁴C(S)NR⁴R⁴, z) -C(NR⁴)R⁴, aa) -C(NR⁴)OR⁴, bb) -OC(NR⁴)R⁴,
- cc) -C(NR⁴)NR⁴R⁴, dd) -NR⁴C(NR⁴)R⁴, ee) -OC(NR⁴)NR⁴R⁴,
- ff) -NR⁴C(NR⁴)OR⁴, gg) -NR⁴C(NR⁴)NR⁴R⁴, hh) -S(O)_pR⁴, ii) -SO₂NR⁴R⁴, and jj) R⁴;

R³ is selected from the group consisting of:

- a) -OR⁴, b) -NR⁴R⁴, c) -C(O)R⁴, d) -C(O)OR⁴, e) -OC(O)R⁴, f) -C(O)NR⁴R⁴,
- g) -NR⁴C(O)R⁴, h) -OC(O)NR⁴R⁴, i) -NR⁴C(O)OR⁴, j) -NR⁴C(O)NR⁴R⁴,
- k) -C(S)R⁴, l) -C(S)OR⁴, m) -OC(S)R⁴, n) -C(S)NR⁴R⁴, o) -NR⁴C(S)R⁴,
- p) -OC(S)NR⁴R⁴, q) -NR⁴C(S)OR⁴, r) -NR⁴C(S)NR⁴R⁴, s) -C(NR⁴)R⁴,
- t) -C(NR⁴)OR⁴, u) -OC(NR⁴)R⁴, v) -C(NR⁴)NR⁴R⁴, w) -NR⁴C(NR⁴)R⁴,
- x) -OC(NR⁴)NR⁴R⁴, y) -NR⁴C(NR⁴)OR⁴, z) -NR⁴C(NR⁴)NR⁴R⁴, aa) -S(O)_pR⁴,
- bb) -SO₂NR⁴R⁴, and cc) R⁴;

R⁴, at each occurrence, independently is selected from the group consisting of:

- a) H, b) -OR⁶, c) an amine protecting group, d) C₁₋₆ alkyl, e) C₂₋₆ alkenyl,
- f) C₂₋₆ alkynyl, g) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
- h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) -C(O)-C₁₋₆ alkyl, j) -C(O)-C₂₋₆ alkenyl, k) -C(O)-C₂₋₆ alkynyl,
- l) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
- m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) -C(O)O-C₁₋₆ alkyl, o) -C(O)O-C₂₋₆ alkenyl, p) -C(O)O-

C₂₋₆ alkynyl, q) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R⁵ groups;

R⁵, at each occurrence, is independently selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR⁶, h) =NOR⁶, i) =N-NR⁶R⁶, j) -CF₃, k) – OR⁶, l) -CN, m) -NO₂, n) -NR⁶R⁶, o) -C(O)R⁶, p) -C(O)OR⁶, q) -OC(O)R⁶, r) -C(O)NR⁶R⁶, s) -NR⁶C(O)R⁶, t) -OC(O)NR⁶R⁶, u) -NR⁶C(O)OR⁶, v) -NR⁶C(O)NR⁶R⁶, w) -C(S)R⁶, x) -C(S)OR⁶, y) -OC(S)R⁶, z) -C(S)NR⁶R⁶, aa) -NR⁶C(S)R⁶, bb) -OC(S)NR⁶R⁶, cc) -NR⁶C(S)OR⁶, dd) -NR⁶C(S)NR⁶R⁶, ee) -C(NR⁶)R⁶, ff) -C(NR⁶)OR⁶, gg) -OC(NR⁶)R⁶, hh) -C(NR⁶)NR⁶R⁶, ii) -NR⁶C(NR⁶)R⁶, jj) -OC(NR⁶)NR⁶R⁶, kk) -NR⁶C(NR⁶)OR⁶, ll) -NR⁶C(NR⁶)NR⁶R⁶, mm) -S(O)_pR⁶, nn) -SO₂NR⁶R⁶, and oo) R⁶;

R⁶, at each occurrence, independently is selected from the group consisting of:

- a) H, b) –OR⁸, c) an amine protecting group, d) C₁₋₆ alkyl, e) C₂₋₆ alkenyl, f) C₂₋₆ alkynyl, g) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) -C(O)-C₁₋₆ alkyl, j) -C(O)-C₂₋₆ alkenyl, k) –C(O)-C₂₋₆ alkynyl, l) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) -C(O)O-C₁₋₆ alkyl, o) -C(O)O-C₂₋₆ alkenyl, p) -C(O)O-C₂₋₆ alkynyl, q) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R⁷ groups;

R^7 , at each occurrence, independently is selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR⁸, h) =NOR⁸, i) =N-NR⁸R⁸, j) -CF₃, k) -OR⁸, l) -CN, m) -NO₂, n) -NR⁸R⁸, o) -C(O)R⁸, p) -C(O)OR⁸, q) -OC(O)R⁸, r) -C(O)NR⁸R⁸, s) -NR⁸C(O)R⁸, t) -OC(O)NR⁸R⁸, u) -NR⁸C(O)OR⁸, v) -NR⁸C(O)NR⁸R⁸, w) -C(S)R⁸, x) -C(S)OR⁸, y) -OC(S)R⁸, z) -C(S)NR⁸R⁸, aa) -NR⁸C(S)R⁸, bb) -OC(S)NR⁸R⁸, cc) -NR⁸C(S)OR⁸, dd) -NR⁸C(S)NR⁸R⁸, ee) -C(NR⁸)R⁸, ff) -C(NR⁸)OR⁸, gg) -OC(NR⁸)R⁸, hh) -C(NR⁸)NR⁸R⁸, ii) -NR⁸C(NR⁸)R⁸, jj) -OC(NR⁸)NR⁸R⁸, kk) -NR⁸C(NR⁸)OR⁸, ll) -NR⁸C(NR⁸)NR⁸R⁸, mm) -S(O)_pR⁸, nn) -SO₂NR⁸R⁸, oo) C₁₋₆ alkyl, pp) C₂₋₆ alkenyl, qq) C₂₋₆ alkynyl, rr) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of oo) – ss) optionally is substituted with one or more moieties selected from the group consisting of R⁸, F, Cl, Br, I, -CF₃, -OR⁸, -SR⁸, -CN, -NO₂, -NR⁸R⁸, -C(O)R⁸, -C(O)OR⁸, -OC(O)R⁸, -C(O)NR⁸R⁸, -NR⁸C(O)R⁸, -OC(O)NR⁸R⁸, -NR⁸C(O)OR⁸, -NR⁸C(O)NR⁸R⁸, -C(S)R⁸, -C(S)OR⁸, -OC(S)R⁸, -C(S)NR⁸R⁸, -NR⁸C(S)R⁸, -OC(S)NR⁸R⁸, -NR⁸C(S)OR⁸, -NR⁸C(S)NR⁸R⁸, -C(NR⁸)R⁸, -C(NR⁸)OR⁸, -OC(NR⁸)R⁸, -C(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)R⁸, -OC(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)OR⁸, -NR⁸C(NR⁸)NR⁸R⁸, -SO₂NR⁸R⁸, and -S(O)_pR⁸;

R^8 , at each occurrence, independently is selected from the group consisting of:

- a) H, b) an amine protecting group, c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl, f) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, h) -C(O)-C₁₋₆ alkyl, i) -C(O)-C₂₋₆ alkenyl, j) -C(O)-C₂₋₆ alkynyl, k) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, l) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, m) -C(O)O-C₁₋₆ alkyl,

n) -C(O)O-C₂₋₆ alkenyl, o) -C(O)O-C₂₋₆ alkynyl, p) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and q) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of c) – q) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, -CF₃, -OH, -OC₁₋₆ alkyl, -SH, -SC₁₋₆ alkyl, -CN, -NO₂, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -C(O)C₁₋₆ alkyl, -C(O)OC₁₋₆ alkyl, -C(O)NH₂, -C(O)NHC₁₋₆ alkyl, -C(O)N(C₁₋₆ alkyl)₂, -NHC(O)C₁₋₆ alkyl, -SO₂NH₂-, -SO₂NHC₁₋₆ alkyl, -SO₂N(C₁₋₆ alkyl)₂, and -S(O)_pC₁₋₆ alkyl;

R⁹ is selected from the group consisting of:

a) C₁₋₆ alkyl, b) phenyl, and c) toluyl;

wherein any of a) - c) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, and I;

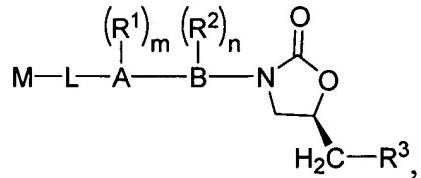
m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4; and

p, at each occurrence, independently is 0, 1, or 2.

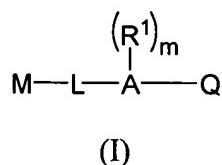
2. (Cancelled)

3. (Currently amended) A process for preparing a compound having the formula:

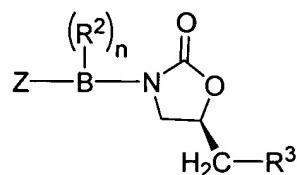


the process comprising the steps of:

combining a compound of formula (I):



with a compound of formula (II):



(II)

in a solvent in the presence of a base and a palladium catalyst,

wherein

A is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

B is selected from the group consisting of:

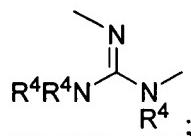
phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

M-L is selected from the group consisting of:

- a) M-X, b) M-L¹, c) M-L¹-X, d) M-X-L², e) M-L¹-X-L², f) M-X-L¹-X-L²,
 g) M-L¹-X-L²-X, h) M-X-X-, i) M-L¹-X-X-, j) M-X-X-L², and
 k) M-L¹-X-X-L², wherein

X, at each occurrence, independently is selected from the group consisting of:

- a) $-O-$, b) $-NR^4-$, c) $-N(O)-$, d) $-N(OR^4)-$, e) $-S(O)p-$, f) $-SO_2NR^4-$,
g) $-NR^4SO_2-$, h) $-NR^4-N=$, i) $=N-NR^4-$, j) $-O-N=$, k) $=N-O-$,
l) $-N=$, m) $=N-$, n) $-NR^4-NR^4-$, o) $-NR^4C(O)O-$, p) $-OC(O)NR^4-$,
q) $-NR^4C(O)NR^4-$, r) $-NR^4C(NR^4)NR^4-$, and
s)



L¹ is selected from the group consisting of:

a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,

wherein any of a) – c) optionally is substituted with one or more R⁵ groups; and

L² is selected from the group consisting of:

a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,

wherein any of a) – c) optionally is substituted with one or more R⁵ groups;

alternatively, L in M-L is a bond;

M is selected from the group consisting of:

a) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl, and f) –CN,

wherein any of a) – e) optionally is substituted with one or more R⁵ groups;

Q is a borane having the formula –BY₂, wherein

Y, at each occurrence, independently is selected from the group consisting of:

a) –OH, b) -OC₁₋₆ alkyl, c) -OC₂₋₆ alkenyl, d) -OC₂₋₆ alkynyl,

e) -OC₁₋₁₄ saturated, unsaturated, or aromatic carbocycle, f) C₁₋₆ alkyl, g) C₂₋₆ alkenyl, h) C₂₋₆ alkynyl, and i) C₁₋₁₄ saturated, unsaturated, or aromatic carbocycle,

wherein any of b) – i) optionally is substituted with one or more halogens;

alternatively, two Y groups taken together comprise a chemical moiety selected from the group consisting of:

a) $-\text{OC}(\text{R}^4)(\text{R}^4)\text{C}(\text{R}^4)(\text{R}^4)\text{O}-$, and b) $-\text{OC}(\text{R}^4)(\text{R}^4)\text{CH}_2\text{C}(\text{R}^4)(\text{R}^4)\text{O}-$;

alternatively, Q is a BF_3 alkali metal salt or 9-borabicyclo[3.3.1]nonane;

Z is selected from the group consisting of:

a) I, b) Br, c) Cl, and d) R^9OSO_3^- ;

R^1 , at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) $-\text{CF}_3$, f) $-\text{OR}^4$, g) -CN, h) $-\text{NO}_2$, i) $-\text{NR}^4\text{R}^4$, j) $-\text{C}(\text{O})\text{R}^4$, k) $-\text{C}(\text{O})\text{OR}^4$, l) $-\text{OC}(\text{O})\text{R}^4$, m) $-\text{C}(\text{O})\text{NR}^4\text{R}^4$, n) $-\text{NR}^4\text{C}(\text{O})\text{R}^4$, o) $-\text{OC}(\text{O})\text{NR}^4\text{R}^4$, p) $-\text{NR}^4\text{C}(\text{O})\text{OR}^4$, q) $-\text{NR}^4\text{C}(\text{O})\text{NR}^4\text{R}^4$, r) $-\text{C}(\text{S})\text{R}^4$, s) $-\text{C}(\text{S})\text{OR}^4$, t) $-\text{OC}(\text{S})\text{R}^4$, u) $-\text{C}(\text{S})\text{NR}^4\text{R}^4$, v) $-\text{NR}^4\text{C}(\text{S})\text{R}^4$, w) $-\text{OC}(\text{S})\text{NR}^4\text{R}^4$, x) $-\text{NR}^4\text{C}(\text{S})\text{OR}^4$, y) $-\text{NR}^4\text{C}(\text{S})\text{NR}^4\text{R}^4$, z) $-\text{C}(\text{NR}^4)\text{R}^4$, aa) $-\text{C}(\text{NR}^4)\text{OR}^4$, bb) $-\text{OC}(\text{NR}^4)\text{R}^4$, cc) $-\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, dd) $-\text{NR}^4\text{C}(\text{NR}^4)\text{R}^4$, ee) $-\text{OC}(\text{NR}^4)\text{NR}^4\text{R}^4$, ff) $-\text{NR}^4\text{C}(\text{NR}^4)\text{OR}^4$, gg) $-\text{NR}^4\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, hh) $-\text{S}(\text{O})_p\text{R}^4$, ii) $-\text{SO}_2\text{NR}^4\text{R}^4$, and jj) R^4 :

R^2 , at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) $-\text{CF}_3$, f) $-\text{OR}^4$, g) -CN, h) $-\text{NO}_2$, i) $-\text{NR}^4\text{R}^4$, j) $-\text{C}(\text{O})\text{R}^4$, k) $-\text{C}(\text{O})\text{OR}^4$, l) $-\text{OC}(\text{O})\text{R}^4$, m) $-\text{C}(\text{O})\text{NR}^4\text{R}^4$, n) $-\text{NR}^4\text{C}(\text{O})\text{R}^4$, o) $-\text{OC}(\text{O})\text{NR}^4\text{R}^4$, p) $-\text{NR}^4\text{C}(\text{O})\text{OR}^4$, q) $-\text{NR}^4\text{C}(\text{O})\text{NR}^4\text{R}^4$, r) $-\text{C}(\text{S})\text{R}^4$, s) $-\text{C}(\text{S})\text{OR}^4$, t) $-\text{OC}(\text{S})\text{R}^4$, u) $-\text{C}(\text{S})\text{NR}^4\text{R}^4$, v) $-\text{NR}^4\text{C}(\text{S})\text{R}^4$, w) $-\text{OC}(\text{S})\text{NR}^4\text{R}^4$, x) $-\text{NR}^4\text{C}(\text{S})\text{OR}^4$, y) $-\text{NR}^4\text{C}(\text{S})\text{NR}^4\text{R}^4$, z) $-\text{C}(\text{NR}^4)\text{R}^4$, aa) $-\text{C}(\text{NR}^4)\text{OR}^4$, bb) $-\text{OC}(\text{NR}^4)\text{R}^4$, cc) $-\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, dd) $-\text{NR}^4\text{C}(\text{NR}^4)\text{R}^4$, ee) $-\text{OC}(\text{NR}^4)\text{NR}^4\text{R}^4$, ff) $-\text{NR}^4\text{C}(\text{NR}^4)\text{OR}^4$, gg) $-\text{NR}^4\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, hh) $-\text{S}(\text{O})_p\text{R}^4$, ii) $-\text{SO}_2\text{NR}^4\text{R}^4$, and jj) R^4 :

R^3 is selected from the group consisting of:

a) $-\text{OR}^4$, b) $-\text{NR}^4\text{R}^4$, c) $-\text{C}(\text{O})\text{R}^4$, d) $-\text{C}(\text{O})\text{OR}^4$, e) $-\text{OC}(\text{O})\text{R}^4$, f) $-\text{C}(\text{O})\text{NR}^4\text{R}^4$, g) $-\text{NR}^4\text{C}(\text{O})\text{R}^4$, h) $-\text{OC}(\text{O})\text{NR}^4\text{R}^4$, i) $-\text{NR}^4\text{C}(\text{O})\text{OR}^4$, j) $-\text{NR}^4\text{C}(\text{O})\text{NR}^4\text{R}^4$, k) $-\text{C}(\text{S})\text{R}^4$, l) $-\text{C}(\text{S})\text{OR}^4$, m) $-\text{OC}(\text{S})\text{R}^4$, n) $-\text{C}(\text{S})\text{NR}^4\text{R}^4$, o) $-\text{NR}^4\text{C}(\text{S})\text{R}^4$,

p) -OC(S)NR⁴R⁴, q) -NR⁴C(S)OR⁴, r) -NR⁴C(S)NR⁴R⁴, s) -C(NR⁴)R⁴,
t) -C(NR⁴)OR⁴, u) -OC(NR⁴)R⁴, v) -C(NR⁴)NR⁴R⁴, w) -NR⁴C(NR⁴)R⁴,
x) -OC(NR⁴)NR⁴R⁴, y) -NR⁴C(NR⁴)OR⁴, z) -NR⁴C(NR⁴)NR⁴R⁴, aa) -S(O)_pR⁴,
bb) -SO₂NR⁴R⁴, and cc) R⁴:

R⁴, at each occurrence, independently is selected from the group consisting of:
a) H, b) -OR⁶, c) an amine protecting group, d) C₁₋₆ alkyl, e) C₂₋₆ alkenyl,
f) C₂₋₆ alkynyl, g) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or
more heteroatoms selected from the group consisting of nitrogen, oxygen, and
sulfur, i) -C(O)-C₁₋₆ alkyl, j) -C(O)-C₂₋₆ alkenyl, k) -C(O)-C₂₋₆ alkynyl,
l) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
comprising one or more heteroatoms selected from the group consisting of nitrogen,
oxygen, and sulfur, n) -C(O)O-C₁₋₆ alkyl, o) -C(O)O-C₂₋₆ alkenyl, p) -C(O)O-
C₂₋₆ alkynyl, q) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and
r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle
comprising one or more heteroatoms selected from the group consisting of nitrogen,
oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R⁵ groups;

R⁵, at each occurrence, is independently selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR⁶, h) =NOR⁶, i) =N-NR⁶R⁶, j) -CF₃, k) -
OR⁶, l) -CN, m) -NO₂, n) -NR⁶R⁶, o) -C(O)R⁶, p) -C(O)OR⁶, q) -OC(O)R⁶,
r) -C(O)NR⁶R⁶, s) -NR⁶C(O)R⁶, t) -OC(O)NR⁶R⁶, u) -NR⁶C(O)OR⁶,
v) -NR⁶C(O)NR⁶R⁶, w) -C(S)R⁶, x) -C(S)OR⁶, y) -OC(S)R⁶, z) -C(S)NR⁶R⁶,
aa) -NR⁶C(S)R⁶, bb) -OC(S)NR⁶R⁶, cc) -NR⁶C(S)OR⁶, dd) -NR⁶C(S)NR⁶R⁶,
ee) -C(NR⁶)R⁶, ff) -C(NR⁶)OR⁶, gg) -OC(NR⁶)R⁶, hh) -C(NR⁶)NR⁶R⁶,
ii) -NR⁶C(NR⁶)R⁶, jj) -OC(NR⁶)NR⁶R⁶, kk) -NR⁶C(NR⁶)OR⁶,
ll) -NR⁶C(NR⁶)NR⁶R⁶, mm) -S(O)_pR⁶, nn) -SO₂NR⁶R⁶, and oo) R⁶;

R⁶, at each occurrence, independently is selected from the group consisting of:

a) H, b) OR^8 , c) an amine protecting group, d) C_{1-6} alkyl, e) C_{2-6} alkenyl, f) C_{2-6} alkynyl, g) C_{3-14} saturated, unsaturated, or aromatic carbocycle, h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) $-\text{C}(\text{O})\text{-C}_{1-6}$ alkyl, j) $-\text{C}(\text{O})\text{-C}_{2-6}$ alkenyl, k) $-\text{C}(\text{O})\text{-C}_{2-6}$ alkynyl, l) $-\text{C}(\text{O})\text{-C}_{3-14}$ saturated, unsaturated, or aromatic carbocycle, m) $-\text{C}(\text{O})\text{-3-14}$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) $-\text{C}(\text{O})\text{O-C}_{1-6}$ alkyl, o) $-\text{C}(\text{O})\text{O-C}_{2-6}$ alkenyl, p) $-\text{C}(\text{O})\text{O-C}_{2-6}$ alkynyl, q) $-\text{C}(\text{O})\text{O-C}_{3-14}$ saturated, unsaturated, or aromatic carbocycle, and r) $-\text{C}(\text{O})\text{O-3-14}$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R^7 groups;

R^7 , at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) $=\text{O}$, f) $=\text{S}$, g) $=\text{NR}^8$, h) $=\text{NOR}^8$, i) $=\text{N-NR}^8\text{R}^8$, j) $-\text{CF}_3$, k) $-\text{OR}^8$, l) $-\text{CN}$, m) $-\text{NO}_2$, n) $-\text{NR}^8\text{R}^8$, o) $-\text{C}(\text{O})\text{R}^8$, p) $-\text{C}(\text{O})\text{OR}^8$, q) $-\text{OC}(\text{O})\text{R}^8$, r) $-\text{C}(\text{O})\text{NR}^8\text{R}^8$, s) $-\text{NR}^8\text{C}(\text{O})\text{R}^8$, t) $-\text{OC}(\text{O})\text{NR}^8\text{R}^8$, u) $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$, v) $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$, w) $-\text{C}(\text{S})\text{R}^8$, x) $-\text{C}(\text{S})\text{OR}^8$, y) $-\text{OC}(\text{S})\text{R}^8$, z) $-\text{C}(\text{S})\text{NR}^8\text{R}^8$, aa) $-\text{NR}^8\text{C}(\text{S})\text{R}^8$, bb) $-\text{OC}(\text{S})\text{NR}^8\text{R}^8$, cc) $-\text{NR}^8\text{C}(\text{S})\text{OR}^8$, dd) $-\text{NR}^8\text{C}(\text{S})\text{NR}^8\text{R}^8$, ee) $-\text{C}(\text{NR}^8)\text{R}^8$, ff) $-\text{C}(\text{NR}^8)\text{OR}^8$, gg) $-\text{OC}(\text{NR}^8)\text{R}^8$, hh) $-\text{C}(\text{NR}^8)\text{NR}^8\text{R}^8$, ii) $-\text{NR}^8\text{C}(\text{NR}^8)\text{R}^8$, jj) $-\text{OC}(\text{NR}^8)\text{NR}^8\text{R}^8$, kk) $-\text{NR}^8\text{C}(\text{NR}^8)\text{OR}^8$, ll) $-\text{NR}^8\text{C}(\text{NR}^8)\text{NR}^8\text{R}^8$, mm) $-\text{S}(\text{O})_p\text{R}^8$, nn) $-\text{SO}_2\text{NR}^8\text{R}^8$, oo) C_{1-6} alkyl, pp) C_{2-6} alkenyl, qq) C_{2-6} alkynyl, rr) C_{3-14} saturated, unsaturated, or aromatic carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of oo) – ss) optionally is substituted with one or more moieties selected from the group consisting of R^8 , F, Cl, Br, I, $-\text{CF}_3$, $-\text{OR}^8$, $-\text{SR}^8$, $-\text{CN}$, $-\text{NO}_2$, $-\text{NR}^8\text{R}^8$, $-\text{C}(\text{O})\text{R}^8$, $-\text{C}(\text{O})\text{OR}^8$, $-\text{OC}(\text{O})\text{R}^8$, $-\text{C}(\text{O})\text{NR}^8\text{R}^8$,

-NR⁸C(O)R⁸, -OC(O)NR⁸R⁸, -NR⁸C(O)OR⁸, -NR⁸C(O)NR⁸R⁸, -C(S)R⁸,
-C(S)OR⁸, -OC(S)R⁸, -C(S)NR⁸R⁸, -NR⁸C(S)R⁸, -OC(S)NR⁸R⁸,
-NR⁸C(S)OR⁸, -NR⁸C(S)NR⁸R⁸, -C(NR⁸)R⁸, -C(NR⁸)OR⁸, -OC(NR⁸)R⁸,
-C(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)R⁸, -OC(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)OR⁸,
-NR⁸C(NR⁸)NR⁸R⁸, -SO₂NR⁸R⁸, and -S(O)_pR⁸:

R⁸, at each occurrence, independently is selected from the group consisting of:

- a) H, b) an amine protecting group, c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl,
- f) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, h) -C(O)-C₁₋₆ alkyl,
- i) -C(O)-C₂₋₆ alkenyl, j) -C(O)-C₂₋₆ alkynyl, k) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, l) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, m) -C(O)O-C₁₋₆ alkyl,
- n) -C(O)O-C₂₋₆ alkenyl, o) -C(O)O-C₂₋₆ alkynyl, p) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and q) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of c) – q) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, -CF₃, -OH, -OC₁₋₆ alkyl, -SH, -SC₁₋₆ alkyl, -CN, -NO₂, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -C(O)C₁₋₆ alkyl, -C(O)OC₁₋₆ alkyl, -C(O)NH₂, -C(O)NHC₁₋₆ alkyl, -C(O)N(C₁₋₆ alkyl)₂, -NHC(O)C₁₋₆ alkyl, -SO₂NH₂-, -SO₂NHC₁₋₆ alkyl, -SO₂N(C₁₋₆ alkyl)₂, and -S(O)_pC₁₋₆ alkyl;

R⁹ is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) phenyl, and c) toluyl;

wherein any of a) - c) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, and I;

m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4; and

p, at each occurrence, independently is 0, 1, or 2

~~A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 1.~~

4. (Cancelled)

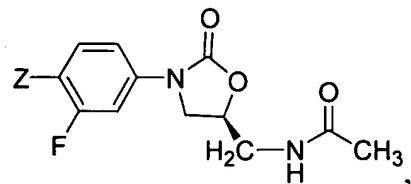
5. (Currently amended) The process according to ~~any one of claims 1-4~~, wherein R³ is –NHC(O)R⁴.

6. (Original) The process according to claim 5, wherein R⁴ is –CH₃.

7. (Currently amended) The process according to ~~any one of claims 1-4~~, wherein R³ is selected from the group consisting of triazole, tetrazole, oxazole, and isoxazole.

8.-11. (Cancelled)

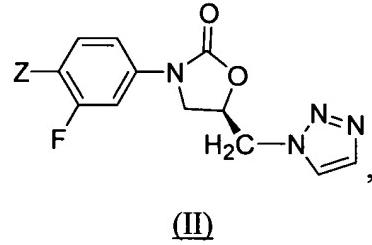
12. (Currently amended) The process according to claim 14, wherein compound (II) has the formula:



(II)

wherein Z is defined as described in claim 1.

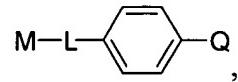
13. (Currently amended) The process according to claim 14, wherein compound (II) has the formula:



wherein Z is defined as described in claim 1.

14.-17. (Cancelled)

18. (Currently amended) The process according to claim 17, wherein compound (I) has the formula:



wherein L, M, and Q, are defined as described in claim 1.

19.-20. (Cancelled)

21. (Currently amended) The process according to ~~any one of~~ claims 1-20, wherein M-L is M-CH₂-X-CH₂-.

22. (Original) The process according to claim 21, wherein X is -NR⁴-.

23. (Original) The process according to claim 22, wherein R⁴ is H.

24. (Original) The process according to claim 22, wherein R⁴ is an amine protecting group.

25. (Original) The process according to claim 24, wherein the amine protecting group is selected from the group consisting of:

- a) benzyl, b) *t*-butyldimethylsilyl, c) *t*-butyldiphenylsilyl, d) *t*-butyloxycarbonyl,
- e) *p*-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
- i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-ethyloxycarbonyl, l) 1-methyl-1-(4-biphenylyl)ethoxycarbonyl,
- m) allyloxycarbonyl, and n) benzyloxycarbonyl.

26. (Original) The process according to claim 24, further comprising the step of removing the amine protecting group.

27.-30. (Cancelled)

31. (Currently amended) The process according to any one of claims 21-30, wherein M comprises a 5-6 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur.

32. (Original) The process according to claim 31, wherein M is selected from the group consisting of triazole, tetrazole, oxazole, and isoxazole.

33.-34. (Cancelled)

35. (Original) The process according to claim 32, wherein M is [1,2,3]triazol-4-yl.

36. (Currently amended) The process according to ~~any one of claims 1-20~~, wherein M-L is M-X-CH₂-.

37. (Original) The process according to claim 36, wherein X is -NR⁴-.

38. (Original) The process according to claim 37, wherein R⁴ is H.

39. (Original) The process according to claim 37, wherein R⁴ is an amine protecting group.

40. (Original) The process according to claim 39, wherein the amine protecting group is selected from the group consisting of:

- a) benzyl, b) *t*-butyldimethylsilyl, c) *t*-butyldiphenylsilyl, d) *t*-butyloxycarbonyl,
- e) *p*-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
- i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-ethyloxycarbonyl, l) 1-methyl-1-(4-biphenylyl)ethoxycarbonyl,
- m) allyloxycarbonyl, and n) benzyloxycarbonyl.

41. (Original) The process according to claim 39, further comprising the step of removing the amine protecting group.

42.-43 (Cancelled)

44. (Currently amended) The process according to ~~any one of claims 36-43~~, wherein M is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, and d) -CN,

wherein

- i) any of a) – c) is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, and -CN; and
- ii) any of a) – c) optionally is further substituted with one or more R⁵ groups.

45. (Original) The process according to claim 44, wherein M is C₁₋₆ alkyl substituted with one or more atoms selected from the group consisting of F, Cl, Br, and I.

46. (Original) The process according to claim 45, wherein M is –CH₂CH₂CH₂F.

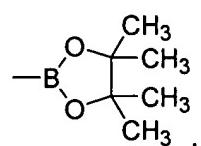
47.-50 (Cancelled)

51. (Currently amended) The process according to ~~any one of claims 1–50~~, wherein Z is selected from the group consisting of I, trifluoromethanesulfonate, and *p*-toluenesulfonate.

52. (Original) The process according to claim 51, wherein Z is I.

53. (Currently amended) The process according to ~~any one of claims 1–52~~, wherein Q is –B(OH)₂.

54. (Currently amended) The process according to ~~any one of claims 1–52~~, wherein Q is:



55. (Currently amended) The process according to ~~any one of~~ claims 1–52, wherein Q is – BF₂·KF.

56. (Currently amended) The process according to ~~any one of~~ claims 1–55, wherein the base is selected from the group consisting of alkali metal hydroxides, alkali metal carbonates, alkali metal fluorides, trialkyl amines, and mixtures thereof.

57. (Cancelled)

58. (Currently amended) The process according to claim 567, wherein the base is potassium carbonate.

59. (Original) The process according to claim 56, wherein the ratio of equivalents of base to equivalents of compound (I) is about 3:1.

60. (Currently amended) The process according to ~~any one of~~ claims 1–59, wherein the palladium catalyst is a ligand coordinated palladium (0) catalyst.

61. (Cancelled)

62. (Currently amended) The process according to claim 604, wherein the palladium catalyst is tetrakis(triphenylphosphine) palladium (0).

63. (Original) The process according to claim 62, wherein the ratio of the equivalents of tetrakis(triphenylphosphine) palladium (0) to the equivalents of compound (I) is about 1:20.

64. (Currently amended) The process according to ~~any one of~~ claims 1-63, wherein the solvent comprises an aqueous solvent.

65. (Cancelled)

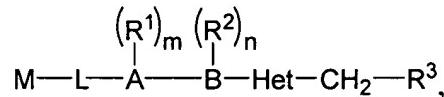
66. (Currently amended) The process according to claim 64~~5~~ wherein the solvent comprises a mixture of water, toluene, and ethanol.

67. (Original) The process according to claim 66 wherein the solvent comprises a mixture of water, toluene, and ethanol in a ratio of about 1:3:1 by volume.

68. (Currently amended) The process according to ~~any one of~~ claims 1-67, wherein the process is carried out at a temperature between about 20 °C and about 100 °C.

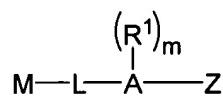
69. (Currently amended) The process according to ~~any one of~~ claims 1-67, wherein the process is carried out at the reflux temperature of the solvent.

70. (Original) A process for preparing a compound having the formula:



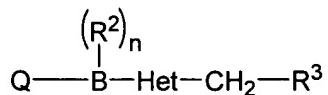
the process comprising the steps of:

combining a compound of formula (I):



(I)

with a compound of formula (II):



(II)

in a solvent in the presence of a base and a palladium catalyst, wherein

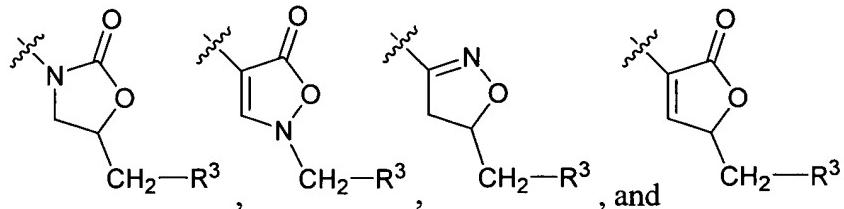
A is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

B is selected from the group consisting of:

phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;

Het-CH₂-R³ is selected from the group consisting of:

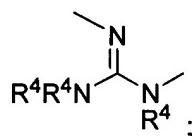


M-L is selected from the group consisting of:

- a) M-X, b) M-L¹, c) M-L¹-X, d) M-X-L², e) M-L¹-X-L², f) M-X-L¹-X-L²,
- g) M-L¹-X-L²-X, h) M-X-X-, i) M-L¹-X-X-, j) M-X-X-L², and
- k) M-L¹-X-X-L², wherein

X, at each occurrence, independently is selected from the group consisting of:

- a) -O-, b) -NR⁴-, c) -N(O)-, d) -N(OR⁴)-, e) -S(O)p-, f) -SO₂NR⁴-,
- g) -NR⁴SO₂-, h) -NR⁴-N=, i) =N-NR⁴-, j) -O-N=, k) =N-O-,
- l) -N=, m) =N-, n) -NR⁴-NR⁴-, o) -NR⁴C(O)O-, p) -OC(O)NR⁴-,
- q) -NR⁴C(O)NR⁴- r) -NR⁴C(NR⁴)NR⁴-, and
- s)



L^1 is selected from the group consisting of:

- a) C_{1-6} alkyl, b) C_{2-6} alkenyl, and c) C_{2-6} alkynyl,

wherein any of a) – c) optionally is substituted with one or more R^5 groups; and

L^2 is selected from the group consisting of:

- a) C_{1-6} alkyl, b) C_{2-6} alkenyl, and c) C_{2-6} alkynyl,

wherein any of a) – c) optionally is substituted with one or more R^5 groups;

alternatively, L in M-L is a bond;

M is selected from the group consisting of:

- a) C_{3-14} saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
- c) C_{1-6} alkyl, d) C_{2-6} alkenyl, e) C_{2-6} alkynyl, and f) $-\text{CN}$,

wherein any of a) – e) optionally is substituted with one or more R^5 groups;

Q is a borane having the formula $-\text{BY}_2$, wherein

Y , at each occurrence, independently is selected from the group consisting of:

- a) $-\text{OH}$, b) $-\text{OC}_{1-6}$ alkyl, c) $-\text{OC}_{2-6}$ alkenyl, d) $-\text{OC}_{2-6}$ alkynyl,
- e) $-\text{OC}_{1-14}$ saturated, unsaturated, or aromatic carbocycle, f) C_{1-6} alkyl,
- g) C_{2-6} alkenyl, h) C_{2-6} alkynyl, and i) C_{1-14} saturated, unsaturated, or aromatic carbocycle,

wherein any of b) – i) optionally is substituted with one or more halogens;

alternatively, two Y groups taken together comprise a chemical moiety selected from the group consisting of:

- a) $-\text{OC}(\text{R}^4)(\text{R}^4)\text{C}(\text{R}^4)(\text{R}^4)\text{O}-$, and b) $-\text{OC}(\text{R}^4)(\text{R}^4)\text{CH}_2\text{C}(\text{R}^4)(\text{R}^4)\text{O}-$;

alternatively, Q is a BF_3 alkali metal salt or 9-borabicyclo[3.3.1]nonane;

Z is selected from the group consisting of:

- a) I, b) Br, c) Cl, and d) R^9OSO_3^- ;

R^1 , at each occurrence, independently is selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) $-\text{CF}_3$, f) $-\text{OR}^4$, g) $-\text{CN}$, h) $-\text{NO}_2$, i) $-\text{NR}^4\text{R}^4$, j) $-\text{C}(\text{O})\text{R}^4$, k) $-\text{C}(\text{O})\text{OR}^4$, l) $-\text{OC}(\text{O})\text{R}^4$, m) $-\text{C}(\text{O})\text{NR}^4\text{R}^4$, n) $-\text{NR}^4\text{C}(\text{O})\text{R}^4$, o) $-\text{OC}(\text{O})\text{NR}^4\text{R}^4$, p) $-\text{NR}^4\text{C}(\text{O})\text{OR}^4$, q) $-\text{NR}^4\text{C}(\text{O})\text{NR}^4\text{R}^4$, r) $-\text{C}(\text{S})\text{R}^4$, s) $-\text{C}(\text{S})\text{OR}^4$, t) $-\text{OC}(\text{S})\text{R}^4$, u) $-\text{C}(\text{S})\text{NR}^4\text{R}^4$, v) $-\text{NR}^4\text{C}(\text{S})\text{R}^4$, w) $-\text{OC}(\text{S})\text{NR}^4\text{R}^4$, x) $-\text{NR}^4\text{C}(\text{S})\text{OR}^4$, y) $-\text{NR}^4\text{C}(\text{S})\text{NR}^4\text{R}^4$, z) $-\text{C}(\text{NR}^4)\text{R}^4$, aa) $-\text{C}(\text{NR}^4)\text{OR}^4$, bb) $-\text{OC}(\text{NR}^4)\text{R}^4$, cc) $-\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, dd) $-\text{NR}^4\text{C}(\text{NR}^4)\text{R}^4$, ee) $-\text{OC}(\text{NR}^4)\text{NR}^4\text{R}^4$, ff) $-\text{NR}^4\text{C}(\text{NR}^4)\text{OR}^4$, gg) $-\text{NR}^4\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, hh) $-\text{S}(\text{O})_p\text{R}^4$, ii) $-\text{SO}_2\text{NR}^4\text{R}^4$, and jj) R^4 ;

R^2 , at each occurrence, independently is selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) $-\text{CF}_3$, f) $-\text{OR}^4$, g) $-\text{CN}$, h) $-\text{NO}_2$, i) $-\text{NR}^4\text{R}^4$, j) $-\text{C}(\text{O})\text{R}^4$, k) $-\text{C}(\text{O})\text{OR}^4$, l) $-\text{OC}(\text{O})\text{R}^4$, m) $-\text{C}(\text{O})\text{NR}^4\text{R}^4$, n) $-\text{NR}^4\text{C}(\text{O})\text{R}^4$, o) $-\text{OC}(\text{O})\text{NR}^4\text{R}^4$, p) $-\text{NR}^4\text{C}(\text{O})\text{OR}^4$, q) $-\text{NR}^4\text{C}(\text{O})\text{NR}^4\text{R}^4$, r) $-\text{C}(\text{S})\text{R}^4$, s) $-\text{C}(\text{S})\text{OR}^4$, t) $-\text{OC}(\text{S})\text{R}^4$, u) $-\text{C}(\text{S})\text{NR}^4\text{R}^4$, v) $-\text{NR}^4\text{C}(\text{S})\text{R}^4$, w) $-\text{OC}(\text{S})\text{NR}^4\text{R}^4$, x) $-\text{NR}^4\text{C}(\text{S})\text{OR}^4$, y) $-\text{NR}^4\text{C}(\text{S})\text{NR}^4\text{R}^4$, z) $-\text{C}(\text{NR}^4)\text{R}^4$, aa) $-\text{C}(\text{NR}^4)\text{OR}^4$, bb) $-\text{OC}(\text{NR}^4)\text{R}^4$, cc) $-\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, dd) $-\text{NR}^4\text{C}(\text{NR}^4)\text{R}^4$, ee) $-\text{OC}(\text{NR}^4)\text{NR}^4\text{R}^4$, ff) $-\text{NR}^4\text{C}(\text{NR}^4)\text{OR}^4$, gg) $-\text{NR}^4\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, hh) $-\text{S}(\text{O})_p\text{R}^4$, ii) $-\text{SO}_2\text{NR}^4\text{R}^4$, and jj) R^4 ;

R^3 is selected from the group consisting of:

- a) $-\text{OR}^4$, b) $-\text{NR}^4\text{R}^4$, c) $-\text{C}(\text{O})\text{R}^4$, d) $-\text{C}(\text{O})\text{OR}^4$, e) $-\text{OC}(\text{O})\text{R}^4$, f) $-\text{C}(\text{O})\text{NR}^4\text{R}^4$, g) $-\text{NR}^4\text{C}(\text{O})\text{R}^4$, h) $-\text{OC}(\text{O})\text{NR}^4\text{R}^4$, i) $-\text{NR}^4\text{C}(\text{O})\text{OR}^4$, j) $-\text{NR}^4\text{C}(\text{O})\text{NR}^4\text{R}^4$, k) $-\text{C}(\text{S})\text{R}^4$, l) $-\text{C}(\text{S})\text{OR}^4$, m) $-\text{OC}(\text{S})\text{R}^4$, n) $-\text{C}(\text{S})\text{NR}^4\text{R}^4$, o) $-\text{NR}^4\text{C}(\text{S})\text{R}^4$,

- p) $-\text{OC}(\text{S})\text{NR}^4\text{R}^4$, q) $-\text{NR}^4\text{C}(\text{S})\text{OR}^4$, r) $-\text{NR}^4\text{C}(\text{S})\text{NR}^4\text{R}^4$, s) $-\text{C}(\text{NR}^4)\text{R}^4$,
- t) $-\text{C}(\text{NR}^4)\text{OR}^4$, u) $-\text{OC}(\text{NR}^4)\text{R}^4$, v) $-\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, w) $-\text{NR}^4\text{C}(\text{NR}^4)\text{R}^4$,
- x) $-\text{OC}(\text{NR}^4)\text{NR}^4\text{R}^4$, y) $-\text{NR}^4\text{C}(\text{NR}^4)\text{OR}^4$, z) $-\text{NR}^4\text{C}(\text{NR}^4)\text{NR}^4\text{R}^4$, aa) $-\text{S}(\text{O})_p\text{R}^4$,
- bb) $-\text{SO}_2\text{NR}^4\text{R}^4$, and cc) R^4 ;

R^4 , at each occurrence, independently is selected from the group consisting of:

- a) H, b) $-\text{OR}^6$, c) an amine protecting group, d) C_{1-6} alkyl, e) C_{2-6} alkenyl,
- f) C_{2-6} alkynyl, g) C_{3-14} saturated, unsaturated, or aromatic carbocycle,
- h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) $-\text{C}(\text{O})\text{C}_{1-6}$ alkyl, j) $-\text{C}(\text{O})\text{C}_{2-6}$ alkenyl, k) $-\text{C}(\text{O})\text{C}_{2-6}$ alkynyl,
- l) $-\text{C}(\text{O})\text{C}_{3-14}$ saturated, unsaturated, or aromatic carbocycle,
- m) $-\text{C}(\text{O})$ -3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) $-\text{C}(\text{O})\text{O-C}_{1-6}$ alkyl, o) $-\text{C}(\text{O})\text{O-C}_{2-6}$ alkenyl,
- p) $-\text{C}(\text{O})\text{O-C}_{2-6}$ alkynyl, q) $-\text{C}(\text{O})\text{O-C}_{3-14}$ saturated, unsaturated, or aromatic carbocycle, and r) $-\text{C}(\text{O})\text{O-3-14}$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R^5 groups;

R^5 , at each occurrence, is independently selected from the group consisting of:

- a) F, b) Cl, c) Br, d) I, e) $=\text{O}$, f) $=\text{S}$, g) $=\text{NR}^6$, h) $=\text{NOR}^6$, i) $=\text{N-NR}^6\text{R}^6$, j) $-\text{CF}_3$,
- k) $-\text{OR}^6$, l) $-\text{CN}$, m) $-\text{NO}_2$, n) $-\text{NR}^6\text{R}^6$, o) $-\text{C}(\text{O})\text{R}^6$, p) $-\text{C}(\text{O})\text{OR}^6$, q) $-\text{OC}(\text{O})\text{R}^6$,
- r) $-\text{C}(\text{O})\text{NR}^6\text{R}^6$, s) $-\text{NR}^6\text{C}(\text{O})\text{R}^6$, t) $-\text{OC}(\text{O})\text{NR}^6\text{R}^6$, u) $-\text{NR}^6\text{C}(\text{O})\text{OR}^6$,
- v) $-\text{NR}^6\text{C}(\text{O})\text{NR}^6\text{R}^6$, w) $-\text{C}(\text{S})\text{R}^6$, x) $-\text{C}(\text{S})\text{OR}^6$, y) $-\text{OC}(\text{S})\text{R}^6$, z) $-\text{C}(\text{S})\text{NR}^6\text{R}^6$,
- aa) $-\text{NR}^6\text{C}(\text{S})\text{R}^6$, bb) $-\text{OC}(\text{S})\text{NR}^6\text{R}^6$, cc) $-\text{NR}^6\text{C}(\text{S})\text{OR}^6$, dd) $-\text{NR}^6\text{C}(\text{S})\text{NR}^6\text{R}^6$,
- ee) $-\text{C}(\text{NR}^6)\text{R}^6$, ff) $-\text{C}(\text{NR}^6)\text{OR}^6$, gg) $-\text{OC}(\text{NR}^6)\text{R}^6$, hh) $-\text{C}(\text{NR}^6)\text{NR}^6\text{R}^6$,
- ii) $-\text{NR}^6\text{C}(\text{NR}^6)\text{R}^6$, jj) $-\text{OC}(\text{NR}^6)\text{NR}^6\text{R}^6$, kk) $-\text{NR}^6\text{C}(\text{NR}^6)\text{OR}^6$,
- ll) $-\text{NR}^6\text{C}(\text{NR}^6)\text{NR}^6\text{R}^6$, mm) $-\text{S}(\text{O})_p\text{R}^6$, nn) $-\text{SO}_2\text{NR}^6\text{R}^6$, and oo) R^6 ;

R^6 , at each occurrence, independently is selected from the group consisting of:

a) H, b) $-OR^8$, c) an amine protecting group, d) C_{1-6} alkyl, e) C_{2-6} alkenyl, f) C_{2-6} alkynyl, g) C_{3-14} saturated, unsaturated, or aromatic carbocycle, h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, i) $-C(O)-C_{1-6}$ alkyl, j) $-C(O)-C_{2-6}$ alkenyl, k) $-C(O)-C_{2-6}$ alkynyl, l) $-C(O)-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, m) $-C(O)-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, n) $-C(O)O-C_{1-6}$ alkyl, o) $-C(O)O-C_{2-6}$ alkenyl, p) $-C(O)O-C_{2-6}$ alkynyl, q) $-C(O)O-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, and r) $-C(O)O-3-14$ membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of d) – r) optionally is substituted with one or more R^7 groups;

R^7 , at each occurrence, independently is selected from the group consisting of:

a) F, b) Cl, c) Br, d) I, e) $=O$, f) $=S$, g) $=NR^8$, h) $=NOR^8$, i) $=N-NR^8R^8$, j) $-CF_3$, k) $-OR^8$, l) $-CN$, m) $-NO_2$, n) $-NR^8R^8$, o) $-C(O)R^8$, p) $-C(O)OR^8$, q) $-OC(O)R^8$, r) $-C(O)NR^8R^8$, s) $-NR^8C(O)R^8$, t) $-OC(O)NR^8R^8$, u) $-NR^8C(O)OR^8$, v) $-NR^8C(O)NR^8R^8$, w) $-C(S)R^8$, x) $-C(S)OR^8$, y) $-OC(S)R^8$, z) $-C(S)NR^8R^8$, aa) $-NR^8C(S)R^8$, bb) $-OC(S)NR^8R^8$, cc) $-NR^8C(S)OR^8$, dd) $-NR^8C(S)NR^8R^8$, ee) $-C(NR^8)R^8$, ff) $-C(NR^8)OR^8$, gg) $-OC(NR^8)R^8$, hh) $-C(NR^8)NR^8R^8$, ii) $-NR^8C(NR^8)R^8$, jj) $-OC(NR^8)NR^8R^8$, kk) $-NR^8C(NR^8)OR^8$, ll) $-NR^8C(NR^8)NR^8R^8$, mm) $-S(O)_pR^8$, nn) $-SO_2NR^8R^8$, oo) C_{1-6} alkyl, pp) C_{2-6} alkenyl, qq) C_{2-6} alkynyl, rr) C_{3-14} saturated, unsaturated, or aromatic carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of oo) – ss) optionally is substituted with one or more moieties selected from the group consisting of R^8 , F, Cl, Br, I, $-CF_3$, $-OR^8$, $-SR^8$, $-CN$, $-NO_2$, $-NR^8R^8$, $-C(O)R^8$, $-C(O)OR^8$, $-OC(O)R^8$, $-C(O)NR^8R^8$,

-NR⁸C(O)R⁸, -OC(O)NR⁸R⁸, -NR⁸C(O)OR⁸, -NR⁸C(O)NR⁸R⁸, -C(S)R⁸, -C(S)OR⁸, -OC(S)R⁸, -C(S)NR⁸R⁸, -NR⁸C(S)R⁸, -OC(S)NR⁸R⁸, -NR⁸C(S)OR⁸, -NR⁸C(S)NR⁸R⁸, -C(NR⁸)R⁸, -C(NR⁸)OR⁸, -OC(NR⁸)R⁸, -C(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)R⁸, -OC(NR⁸)NR⁸R⁸, -NR⁸C(NR⁸)OR⁸, -NR⁸C(NR⁸)NR⁸R⁸, -SO₂NR⁸R⁸, and -S(O)_pR⁸;

R⁸, at each occurrence, independently is selected from the group consisting of:

- a) H, b) an amine protecting group, c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl, f) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, h) -C(O)-C₁₋₆ alkyl, i) -C(O)-C₂₋₆ alkenyl, j) -C(O)-C₂₋₆ alkynyl, k) -C(O)-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, l) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, m) -C(O)O-C₁₋₆ alkyl, n) -C(O)O-C₂₋₆ alkenyl, o) -C(O)O-C₂₋₆ alkynyl, p) -C(O)O-C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and q) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of c) – q) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, -CF₃, -OH, -OC₁₋₆ alkyl, -SH, -SC₁₋₆ alkyl, -CN, -NO₂, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -C(O)C₁₋₆ alkyl, -C(O)OC₁₋₆ alkyl, -C(O)NH₂, -C(O)NHC₁₋₆ alkyl, -C(O)N(C₁₋₆ alkyl)₂, -NHC(O)C₁₋₆ alkyl, -SO₂NH₂-, -SO₂NHC₁₋₆ alkyl, -SO₂N(C₁₋₆ alkyl)₂, and -S(O)_pC₁₋₆ alkyl;

R⁹ is selected from the group consisting of:

- a) C₁₋₆ alkyl, b) phenyl, and c) toluyl;

wherein any of a) - c) optionally is substituted with one or more moieties selected from the group consisting of F, Cl, Br, and I;

m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4; and

p, at each occurrence, independently is 0, 1, or 2.

71.-138 (Cancelled)